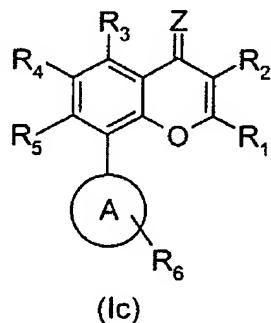


Confirm. No. 4710
516745-2001.1AMENDMENTS TO THE CLAIMS

Please amend the claims without prejudice, without admission, without surrender of subject matter, and without any intention of creating any estoppel as to equivalents, as follows.

Claim 1 (currently amended)

1. A compound of general formula (Ic), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur, and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, -C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ is hydrogen, C₁-C₆-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, OR₁₁, halogen, cyano, nitro, NR₉R₁₀ or SR₁₁;

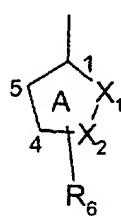
Confirm. No. 4710
516745-2001.1

R_3 , R_4 and R_5 are each independently selected from: hydrogen, C_1 - C_4 -alkyl, halogen, OR_{11} , C_1 - C_4 -alkylcarbonyloxy, NR_9R_{10} , $SO_2NR_9R_{10}$, carboxyl, cyano and nitro;

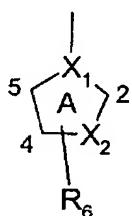
Z is O or S;

A is a 5- or 6-membered ring; wherein:

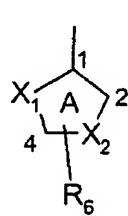
(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (v);



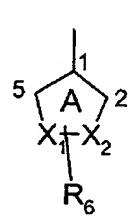
(i)



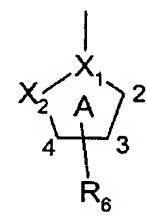
(ii)



(iii)



(iv)

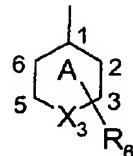


(v)

wherein X_1 and X_2 are each independently selected from: a carbon atom and a heteroatom selected from: oxygen, sulfur, and nitrogen, provided that at least one of X_1 and X_2 is a heteroatom, and when X_1 or X_2 is a nitrogen atom, it wherein the nitrogen atom is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl; and

R_6 is $-C_1$ - C_4 -alkylene OR_{11} ;

(II) the 6-membered ring is saturated and of the general structure (vi):

Confirm. No. 4710
516745-2001.1

(vi)

wherein X_3 is an oxygen atom, a sulfur atom, or a nitrogen atom, wherein the nitrogen atom and when X_3 is nitrogen atom, it is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxy);

R_6 is $-C_1$ - C_4 .alkyleneOR₁₁ ;

R_9 and R_{10} are each independently selected from: hydrogen, C_1 - C_4 .alkyl, C_1 - C_4 .alkanoyl, C_1 - C_4 alkoxy carbonyl, C_1 - C_4 .alkoxycarbonyl, C_1 - C_4 .alkylcarbonyl, carboxamide and sulfonamide; or

R_9 and R_{10} , together with the nitrogen atom to which they are bonded, form a 3, 4, 5 or 6 membered heterocyclic ring which can have at least one further heteroatom selected from: nitrogen, oxygen and sulfur, which ring is saturated, partially unsaturated or aromatic, and either unsubstituted or substituted by at least one substituent selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_6 -alkenyl, C_3 - C_6 -alkynyl, C_2 - C_4 -alkanoyl, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxy;

R_{11} is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkanoyl, or C_1 - C_4 -alkoxycarbonyl;

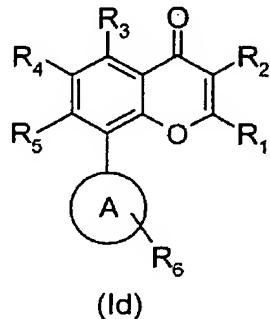
R_{14} is hydrogen, C_1 - C_4 -alkyl, hydroxyl, $-NR_9R_{10}$, halogen, $-SH$, or $-S-C_1$ - C_4 -alkyl; and

Confirm. No. 4710
516745-2001.1

m is an integer of 0 to 6.

Claim 2 (currently amended)

2. A compound of the general formula (Id), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur, and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

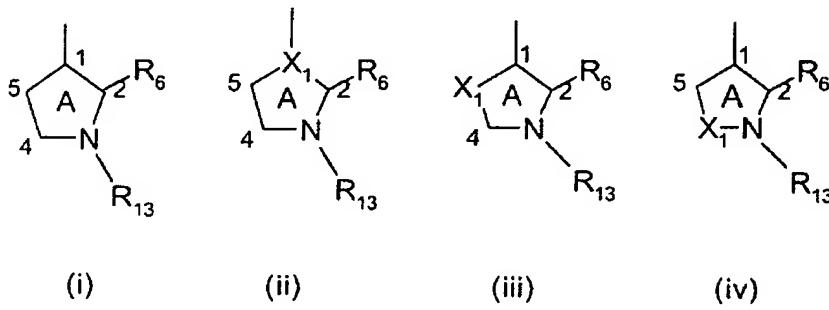
R₂ is hydrogen, C₁-C₆-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, OR₁₁, halogen, cyano, nitro, NR₉R₁₀ or SR₁₁;

R₃, R₄ and R₅ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkoxyl, halogen, OR₁₁, C₁-C₄.alkylcarbonyloxy, NR₉R₁₀, SO₂NR₉R₁₀, carboxy, cyano and nitro;

Confirm. No. 4710
516745-2001.1

A is a 5- or 6- membered ring; wherein:

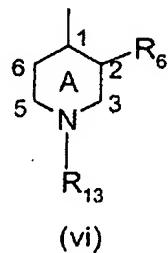
(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (iv);



wherein X_1 is either a carbon atom or a heteroatom selected from: oxygen, sulfur, and nitrogen, except that in structures (ii) and (iv) X_1 is either a carbon atom or a nitrogen atom, and wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} and $-CO(CH_2)_mR_{14}$, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R₆ is -C₁-C₄.alkyleneOR₁₁;

(II) the 6-membered ring is saturated and represented by the general structure (vi):



Confirm. No. 4710
516745-2001.1

wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$, and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R_6 is $-C_1$ - C_4 .alkyleneOR₁₁;

R_9 and R_{10} are each independently selected from: hydrogen, C_1 - C_4 .alkyl, C_1 - C_4 .alkanoyl, C_1 - C_4 .alkoxycarbonyl, C_1 - C_4 .alkylcarbonyl, carboxamide and sulfonamide; or

~~R_9 and R_{10} , together with the nitrogen atom to which they are bonded, form a 3, 4, 5 or 6 membered heterocyclic ring which can have at least one further heteroatom selected from: nitrogen, oxygen and sulfur, which ring is saturated, partially unsaturated or aromatic and either unsubstituted or substituted by at least one substituent selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_6 -alkenyl, C_3 - C_6 -alkynyl, C_2 - C_4 -alkanoyl, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;~~

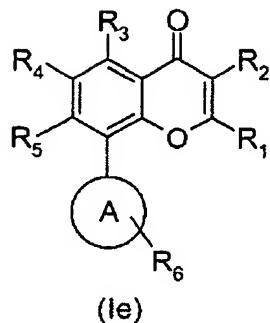
R_{11} is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkanoyl, or C_1 - C_4 -alkoxycarbonyl;

R_{14} is hydrogen, C_1 - C_4 -alkyl, hydroxyl, $-NR_9R_{10}$, halogen, $-SH$, or $-S-C_1$ - C_4 .alkyl; and

m is an integer of 0 to 6.

Claim 3 (currently amended)

3. A compound of the general formula (Ie), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof

Confirm. No. 4710
516745-2001.1

wherein

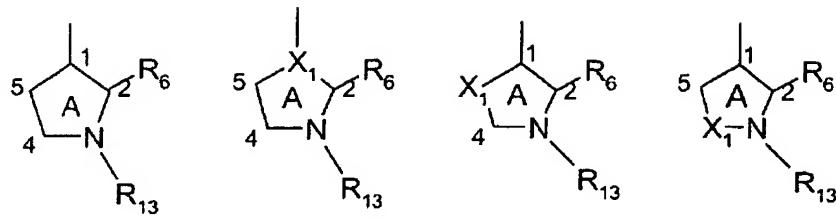
R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ and R₄ are hydrogen;R₃ and R₅ are each independently selected from: hydroxyl, C₁-C₄-alkoxyl and C₁-C₄-alkylcarbonyloxy;

A is a 5- or 6- membered ring; wherein:

(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (iv);

Confirm. No. 4710
516745-2001.1

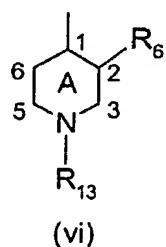


(i) (ii) (iii) (iv)

wherein X_1 is either a carbon atom or a heteroatom selected from: oxygen, sulfur, and nitrogen, except that in structures (ii) and (iv) X_1 is either a carbon atom or a nitrogen atom, and wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R₆ is -C₁-C₄.alkyleneOR₁₁;

(II) the 6-membered ring is saturated and of the general structure (vi):



wherein R₁₃ is selected from: hydrogen, unsubstituted C₁-C₆-alkyl, or C₁-C₆-alkyl substituted by halogen, hydroxyl, or carboxyl, C₂-C₆-alkenyl, hydroxyl, C₁-C₆-alkoxy, C₁-C₄-alkylcarbonyl, toluenesulfonyl, cyano, SO₂R₁₀, -CO(CH₂)_mR₁₄, and phenyl, which is unsubstituted or

Confirm. No. 4710
516745-2001.1

substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₆ is -C₁-C₄.alkyleneOR₁₁ ;

R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide; or

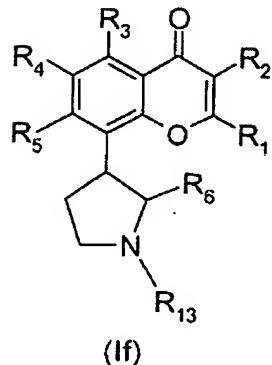
R₉ and R₁₀, together with the nitrogen atom to which they are bonded, form a 3, 4, 5 or 6-membered heterocyclic ring which can have at least one further heteroatom selected from: nitrogen, oxygen and sulfur, which ring is saturated, partially unsaturated or aromatic and either unsubstituted or substituted by at least one substituent selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₂-C₄-alkanoyl, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, or C₁-C₄-alkoxycarbonyl;

R₁₄ is hydrogen, C₁-C₄-alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S- C₁-C₄.alkyl; and m is an integer of 0 to 6.

Claim 4 (currently amended)

4. A compound of the general formula (If), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof

Confirm. No. 4710
516745-2001.1

wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ and R₄ are hydrogen;R₃ and R₅ are each independently selected from: hydroxyl, C₁-C₄alkoxyl and C₁-C₄-alkylcarbonyloxy;R₆ is -C₁-C₄-alkylenehydroxyl; -C₁-C₄-alkyleneOR₁₁;R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide; or

~~R₉ and R₁₀, together with the nitrogen atom to which they are bonded, are a 3, 4, 5 or 6 membered heterocyclic ring which can have at least one further heteroatom selected from: nitrogen, oxygen and sulfur, which ring is saturated, partially unsaturated or unsaturated and~~

Confirm. No. 4710
516745-2001.1

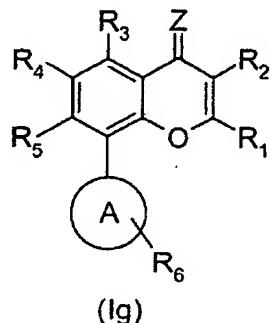
either unsubstituted or substituted by at least one substituent selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₂-C₄-alkanoyl, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and C₁-C₄-alkylenehydroxyl;

R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, or C₁-C₄-alkoxycarbonyl; and

R₁₃ is hydrogen or C₁-C₄-alkyl.

Claim 5 (currently amended - withdrawn)

5. A compound of the general formula (Ig), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

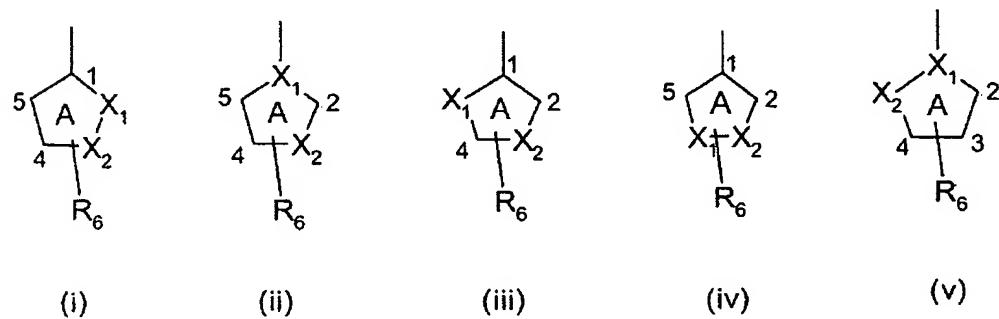
Confirm. No. 4710
516745-2001 1

R_2 is hydrogen, C_1 - C_6 -alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl, OR_{11} , halogen, cyano, nitro, NR_9R_{10} or SR_{11} ;

R_3 , R_4 and R_5 are each independently selected from: hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxyl, halogen, OR_{11} , C_1 - C_4 -alkylcarbonyloxy, NR_9R_{10} , $SO_2NR_9R_{10}$, carboxyl, cyano and nitro;

Z is O or S;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (v);



wherein X_1 and X_2 independently represent a carbon atom and a nitrogen atom are each independently selected from: a carbon atom and a heteroatom selected from: oxygen, sulfur, and nitrogen, provided that at least one of X_1 and X_2 is a nitrogen atom heteroatom, and wherein the nitrogen atom is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, SO_2R_{10} , $-CO(CH_2)_mR_{14}$, cyano, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl.

Confirm. No. 4710
516745-2001.1

R_6 is ~~hydrogen, C₁-C₄.alkyl, -C₁-C₄.alkanoyl, hydroxyl, C₁-C₄.alkoxyl, -C₁-C₄.alkoxycarbonyl, -C₁-C₄.alkyleneOR₁₁, -C₁-C₄.alkylenehalo, -C₁-C₄.alkyleneNR₉R₁₀, C₁-C₄-alkyleneC(O)OR₉, phenoxy, -NR₉R₁₀, SR₁₂, S(O)_nR₁₂, -C(O)R₁₂ or -C(S)R₁₂;~~

R_9 and R_{10} are each independently selected from: ~~hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide; or~~

R_9 and R_{10} , together with the nitrogen atom to which they are bonded, form a 3-, 4-, 5- or 6-membered heterocyclic ring which can have at least one further heteroatom selected from: ~~nitrogen, oxygen and sulfur, which ring is saturated, partially unsaturated or aromatic and either unsubstituted or substituted by at least one substituent selected from: halogen, C₁-C₄.alkyl, C₁-C₄.alkoxy, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₁-C₄.alkanoyl, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄.alkoxycarbonyl and C₁-C₄.alkylenehydroxyl;~~

R_{11} is ~~hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, or C₁-C₄.alkoxycarbonyl;~~

R_{12} is ~~hydrogen, halogen, C₁-C₄.alkyl, -NR₉R₁₀, or OR₉~~;

R_{14} is ~~hydrogen, C₁-C₄.alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S- C₁-C₄.alkyl;~~

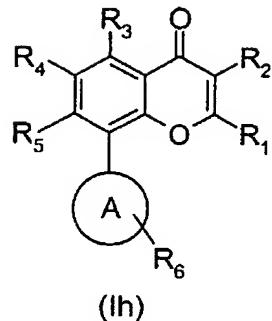
m is an integer of 0 to 6; and

n is an integer of 1 or 2.

Claim 6 (cancelled).

Claim 7 (currently amended - withdrawn)

7. A compound of general formula (Ih), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof

Confirm. No. 4710
516745-2001.1

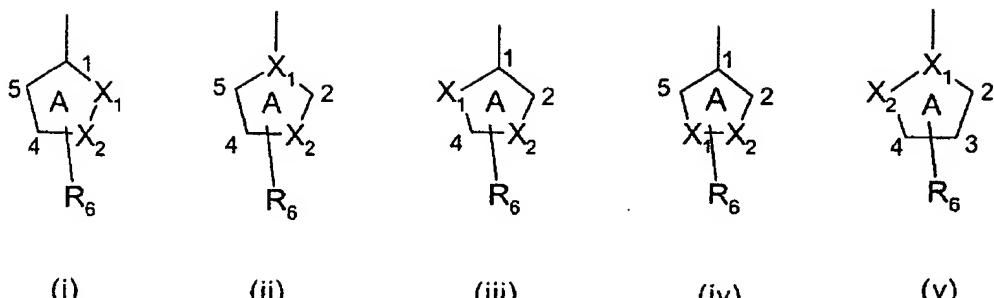
wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ and R₄ are hydrogen;R₃ and R₅ are each independently selected from: hydroxyl, C₁-C₄-alkoxyl and C₁-C₄-alkylcarbonyloxy;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (v);

Confirm. No. 4710
516745-2001.1



wherein X_1 and X_2 are each independently selected from: represent a carbon atom and a heteroatom selected from: oxygen, sulfur, and nitrogen atom, provided that at least one of X_1 and X_2 is a nitrogen atom heteroatom, and wherein the nitrogen atom is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R₆ is hydrogen, C₁-C₄.alkyl, -C₁-C₄.alkanoyl, hydroxyl, C₁-C₄.alkoxyl, -C₁-C₄.alkoxycarbonyl, -C₁-C₄.alkyleneOR₁₁, -C₁-C₄.alkylenehalo, -C₁-C₄.alkyleneNR₉R₁₀, -C₁-C₄-alkyleneC(O)OR₉, phenoxy, -NR₉R₁₀, SR₁₂, S(O)_nR₁₂, -C(O)R₁₂ or -C(S)R₁₂;

R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide; or

Confirm. No. 4710
516745-2001.1

~~C₄-alkoxy, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₂-C₄-alkanoyl, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and C₁-C₄-alkylenehydroxyl;~~

R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl or C₁-C₄-alkoxycarbonyl;

R₁₂ is hydrogen, halogen, C₁-C₄-alkyl, -NR₉R₁₀, or OR₉;

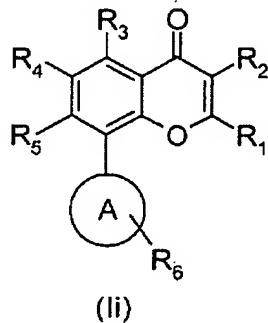
R₁₄ is hydrogen, C₁-C₄-alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S- C₁-C₄-alkyl;

m is an integer of 0 to 6; and

n is an integer of 1 or 2.

Claim 8 (currently amended - withdrawn)

8. A compound of general formula (ii), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and

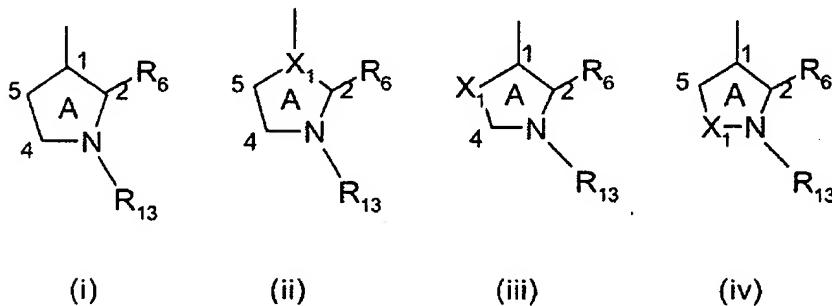
Confirm. No. 4710
516745-2001.1

sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R_2 and R_4 are hydrogen;

R_3 and R_5 are each independently selected from: hydroxyl, C_1 - C_4 -alkoxyl and C_1 - C_4 -alkylcarbonyloxy;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (iv);



wherein X_1 is either a carbon atom or a heteroatom selected from: oxygen, sulphur, and nitrogen, except that in structures (ii) and (iv) X_1 is either a carbon atom or a nitrogen atom, and wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R₆ is hydrogen, C₁-C₄.alkyl, -C₁-C₄.alkanoyl, hydroxyl, C₁-C₄.alkoxyl, -C₁-C₄.alkoxycarbonyl, -C₁-C₄.alkyleneOR₁₁, -C₁-C₄.alkylenehalo, -C₁-C₄.alkyleneNR₉R₁₀, -C₁-C₄-alkyleneC(O)OR₉, phenoxy -NR₉R₁₀, SR₁₂, S(O)_nR₁₂, -C(O)R₁₂ or -C(S)R₁₂;

Confirm. No. 4710
516745-2001.1

R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide; or

R₉ and R₁₀, together with the nitrogen atom to which they are bonded, form a 3, 4, 5 or 6 membered heterocyclic ring which can have at least one further heteroatom selected from: nitrogen, oxygen and sulfur, which ring is saturated, partially unsaturated or aromatic and either unsubstituted or substituted by at least one substituent selected from: halogen, C₁-C₄.alkyl, C₁-C₄.alkoxy, C₂-C₆.alkenyl, C₃-C₆.alkynyl, C₂-C₄.alkanoyl, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄.alkoxycarbonyl and C₁-C₄.alkylenehydroxyl;

R₁₁ is hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, or C₁-C₄.alkoxycarbonyl;

R₁₂ is hydrogen, halogen, C₁-C₄.alkyl, -NR₉R₁₀, or OR₉;

R₁₄ is hydrogen, C₁-C₄.alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or-S- C₁-C₄.alkyl;

m is an integer of 0 to 6; and

n is an integer of 1 or 2.

Claim 9 (original)

9. A compound as claimed in claim 1, wherein R₁ is phenyl or pyridinyl, substituted by 1, 2 or 3 identical or different substituents selected from: halogen and nitro, R₂ and R₄ are hydrogen, R₃ and R₅ are hydroxyl, A is a saturated 5-membered ring represented by any one of the general structures (i) to (v), wherein X₁, X₂, R₆ and R₁₃ are as defined.

Claim 10 (original)

10. A compound as claimed in claim 1, wherein R₁ is phenyl or pyridinyl, substituted by 1, 2 or 3 identical or different substituents selected from: halogen and nitro, R₂ and R₄ are hydrogen, R₃ and R₅ are hydroxyl, A is a saturated 5-membered ring represented by any one of the general

Confirm. No. 4710
51674S-2001.1

structures (i) to (v), wherein X_1 is carbon, X_2 is nitrogen, R_6 is $-C_1-C_4$ -alkylenehydroxyl, and R_3 is C_1-C_4 -alkyl.

Claim 11 (currently amended)

11. A compound of the general formula (Ig) as claimed in claim 5, which is:

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxychromen-4-one;

(+)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxychromen-4-one;

(+)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxychromen-4-one;

(-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxychromen-4-one;

(+)-*trans*-2-(2-Bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(4-Bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxychromen-4-one;

(+)-*trans*-2-(4-Bromo-phenyl)-5-hydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-7-methoxy-chromen-4-one;

(+)-*trans*-2-(4-Bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxychromen-4-one;

(+)-*trans*-2-(3-Chloro-phenyl)-5-hydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-7-methoxy-chromen-4-one;

(+)-*trans*-2-(3-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-iodo-phenyl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-iodo-phenyl)-chromen-4-one;

(+)-*trans*-2-(2-Fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2,6-Difluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2,6-Difluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+/-)-*trans*-4-[5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-4-[5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-phenyl-chromen-4-one;

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-phenyl-chromen-4-one;

(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-thiophen-2-yl-chromen-4-one;

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-thiophen-2-yl-chromen-4-one;

(+)-*trans*-4-[5,7-Dihydroxy-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-3-methyl-benzonitrile;

(+)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-3-methyl-benzonitrile;

(+/-)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+/-)-*trans*-2-[(3,5-Bis-trifluoromethyl)-phenyl]-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-[(3,5-Bis-trifluoromethyl)-phenyl]-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-methyl-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-methyl-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-nitro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-nitro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dihydroxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-pyridin-3-yl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-pyridin-3-yl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-nitrophenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dihydroxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-pyridin-3-yl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-nitrophenyl)-4H-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-nitrophenyl)-chromen-4-one;

(+/-)-*trans*-2-(4-Aminophenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(2-methoxy-phenyl)-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-hydroxy-phenyl)-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+)-*trans*-3-Chloro-4-[8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-2-(4-Bromo-2-chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(4-Bromo-2-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-dimethylamino-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-methylamino-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Azidomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-8-(2-Aminomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dimethoxy-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+/-)-*trans*-8-(2-Aminomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dihydroxy-chromen-4-one;

(+/-)-*trans*-3-{[2-(2-Chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-methyl-pyrrolidin-2-yl}-acetonitrile;

(+/-)-*trans*-{3-[2-(2-Chloro-phenyl)-5,7-dihydroxy-4-oxo-4H-chromen-8-yl]-1-methyl-pyrrolidin-2-yl}-acetonitrile;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-(2-imidazol-1-ylmethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-imidazol-1-ylmethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-[2-Chloro-phenyl-8-(2-mercaptopethyl-1-methyl-pyrrolidin-3-yl)]-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-mercaptopethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*- Acetic acid 3-[2-(2-chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-(4-methoxy-phenyl)-pyrrolidin-2-ylmethyl ester;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-[2-hydroxymethyl-1-(4-methoxy-phenyl)-pyrrolidin-3-yl]-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-[2-hydroxymethyl-1-(4-methoxy-phenyl)-pyrrolidin-3-yl]-chromen-4-one;

(+/-)-*trans*-Acetic acid-3-[2-(2-chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-propyl-pyrrolidin-2-ylmethyl ester;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-propyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-propyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+/-)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-3-Bromo-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-2-(2-Chloro-4-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(4-Amino-2-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-4-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(4-Amino-2-bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-4-Chloro-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-4-Bromo-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-4-Bromo-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-4-Chloro-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-3-Bromo-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide; or

(+/-)-*trans*-2-(2,4-Difluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-3-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-3-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

Confirm. No. 4710
516745-201.1

(+)-*trans*-2-(2-Bromo-3-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-3-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-iodo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-iodo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-1-oxy-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-4-nitro-phenyl)-8-(2-hydroxymethyl-1-methylpyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(4-Amino-2-bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(4-Amino-2-bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-4-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-4-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

Confirm. No. 4710
516745-2001.1

(+)-*trans*-2-(2-Bromo-4-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans* -Acetic acid 8-(2-acetoxymethyl-1-methyl-pyrrolidin-3-yl)-5-hydroxy-2-(4-nitro-phenyl)-4-oxo-4H-chromen-7-yl ester;
(+)-*trans*-2-(2,4-Dichloro-5-fluoro-phenyl)-8-(2-hydroxymethyl-1- methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one; or
(+)-*trans*-2-(2,4-Dichloro-5-fluoro-phenyl-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one.

Claim 12 (currently amended)

12. A pharmaceutical composition for the treatment of a disease or disorder mediated by inhibition of cyclin dependent kinase, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

Claim 13 (currently amended)

13. A pharmaceutical composition for the treatment of a disease or a disorder mediated by inhibition of cyclin dependent kinase, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, or a pharmaceutically acceptable salt thereof, and at least one further pharmaceutically active compound, together with a pharmaceutically acceptable carrier.

Claim 14 (currently amended)

14. A method of inhibiting cyclin dependent kinases for the treatment of a disease or a disorder mediated by inhibition of cyclin dependent kinase to a patient in need thereof, comprising administering an effective amount of a compound of the formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1.

Confirm. No. 4710
516745-2001.1

Claim 15 (currently amended)

15. A method for the treatment of a disease or a disorder or prevention of disorders associated with excessive cell proliferation in a mammal in need thereof, comprising administering to said mammal a therapeutically effective amount of the compound of the formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1 pharmaceutical composition as claimed in claim 12.

Claim 16 (currently amended)

16. A The method of claim 14, wherein the disease or disorder mediated by inhibition of cyclin dependent kinase is cancer for the treatment or prevention of disorders associated with excessive cell proliferation in a mammal, comprising administering to said mammal a therapeutically effective amount of the compound of the formula (Ic) as claimed in claim 1, or a pharmaceutically acceptable salt thereof.

Claim 17 (currently amended)

17. A The method of claim 15, wherein the disease or disorder associated with excessive cell proliferation is cancer for the treatment or prevention of disorders associated with excessive cell proliferation in a mammal, comprising administering either sequentially or simultaneously to said mammal a therapeutically effective amount of the compound of the formula (Ic) as claimed in claim 1, or a pharmaceutically acceptable salt thereof, and at least one other pharmaceutically active compound.

Claim 18 (currently amended)

18. A The method of claim 16, wherein the cancer is selected from the group consisting of cervical, breast, prostate, lung and histiolytic lymphoma and breast cancer for the treatment or prevention of disorders associated with the differentiation of a differentiated cell population in a mammal, comprising administering to said mammal a therapeutically effective amount of the

Confirm. No. 4710
516745-2001.1

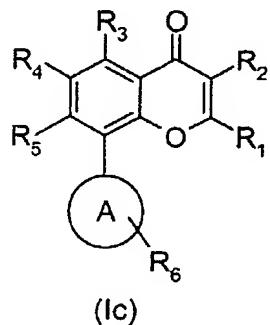
~~compound of the formula (Ic) as claimed in claim 1, or a pharmaceutically acceptable salt thereof.~~

Claim 19 (currently amended)

19. ~~A The method of claim 17, wherein the cancer is selected from the group consisting of cervical, breast, prostate, lung and histiolytic lymphoma and breast cancer for the treatment or prevention of cancer in a mammal which comprises administering to said mammal a therapeutically effective amount of the compound of the formula (Ic) as claimed in claim 1, or a pharmaceutically acceptable salt thereof.~~

Claim 20 (original)

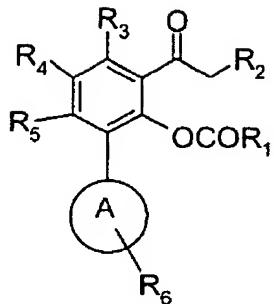
20. A process for the preparation of a compound of general formula (Ic), as claimed in claim 1, or a pharmaceutically acceptable salt thereof:



wherein

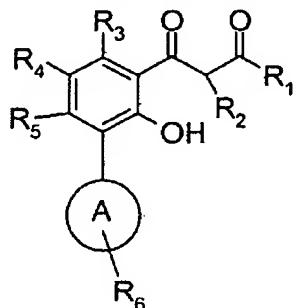
R₁, R₂, R₃, R₄, R₅, R₆ and A are as defined,

which process comprises reacting a compound of formula (XA):

Confirm. No. 4710
516745-2001.1

XA

or a compound of formula (XIIA):

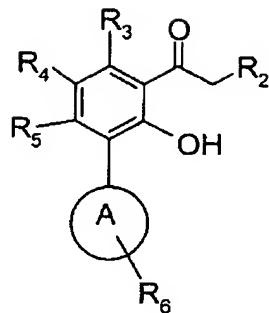


XII A

wherein in each case R₁, R₂, R₃, R₄, R₅, R₆ and A are as defined, with an organic or inorganic base, subsequently adding an acid to the reaction mixture capable of effecting cyclization, then adding an organic or inorganic base, and, if appropriate, converting the compound of formula (Ic) into a pharmaceutically acceptable salt.

Claim 21 (original)

21. A process according to claim 20, wherein the compound of formula (XIIA) is obtained by reacting a compound of formula (XIA)

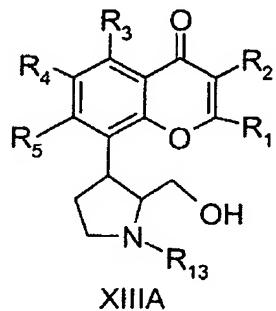
Confirm. No. 4710
516745-2001.1

XIA

wherein R₂, R₃, R₄, R₅, R₆ and A are as defined above, with a carboxylic acid ester, an acid halide, or an activated ester in the presence of an organic or inorganic base in organic or inorganic solvent.

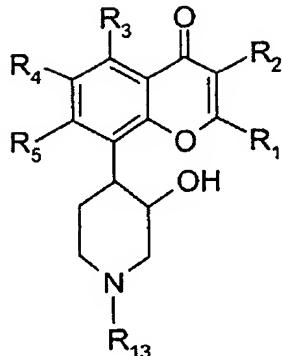
Claim 22 (original)

22. A process for the preparation of a compound of formula (XIIIA) or a pharmaceutically acceptable salt thereof:



wherein R₁, R₂, R₃, R₄, R₅ and R₁₃ are as defined in claim 1, comprising reacting a compound of formula (VIIA)

Confirm. No. 4710
516745-2001.1



VII A

wherein R₁, R₂, R₃, R₄, R₅ and R₁₃ are as defined in claim 1, with a reagent suitable to effect replacement of the -OH group on the piperidino ring by a leaving group, in the presence of an organic or inorganic base, followed by adding a suitable organic base in the presence of a suitable organic solvent to effect contraction of the piperidino ring, and, if appropriate, converting the resultant compound of formula (XIII) into a pharmaceutically acceptable salt.

Claim 23 (cancelled).

Claim 24 (new)

24. The compound of claim 4, wherein R_{11} is hydrogen.

Claim 25 (new)

25. A pharmaceutical composition for the treatment of a disease or a disorder, associated with excessive cell proliferation, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and a pharmaceutically acceptable carrier.

Claim 26 (new)

26. A pharmaceutical composition for the treatment of a disease or a disorder associated with excessive cell proliferation, comprising a therapeutically effective amount of a compound of

Confirm. No. 4710
516745-2001.1

general formula (1c) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and at least one further pharmaceutically active compound, together with a pharmaceutically acceptable carrier.